L5 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:1154779 CAPLUS Full-text
DN 142:62766
TI Product of coprecipitation of sparingly soluble substance and water-soluble polymer and process for producing the same
IN Ishikura, Toyoaki; Udagawa, Chikako; Misaka, Masato; Suemune, Kenji; Kitahara, Shinichi; Ono, Kiyoko; Koyanagi, Akihiro
PA Meiji Seika Kaisha, Ltd., Japan
SO PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004113451	A1	20041229	WO 2004-JP8727	20040621

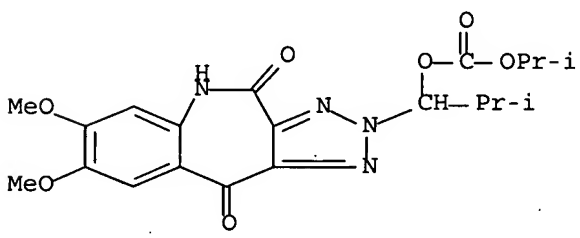
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI JP 2003-175646 A 20030620

AB Disclosed is a product of the copptn. of 2-(1-isopropoxy-carbonyloxy-2-methylpropyl)-7,8-dimethoxy-4(5H),10-dioxo-2H-1,2,3-triazolo[4,5-c][1]benzoazepine (I) and a water-soluble polymer. The copptn. product is excellent in solubility and absorbability. Crystalline I and Me cellulose were dissolved in DMSO. The mixture was dropped into an aqueous solution containing Me cellulose to give ppts., which showed a solubility 16.8 μg/mL, as compared to 0.8 μg/mL for crystalline I.

IT **222633-22-9**
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(copptn. of sparingly soluble tricyclic triazolobenzazepine derivative and water-soluble polymer for improving solubility)

RN 222633-22-9 CAPLUS
CN Carbonic acid, 1-(5,10-dihydro-7,8-dimethoxy-4,10-dioxo-1,2,3-triazolo[4,5-c][1]benzazepin-2(4H)-yl)-2-methylpropyl 1-methylethyl ester (9CI) (CA INDEX NAME)



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:1154716 CAPLUS Full-text
DN 142:100324
TI Tricyclic triazolobenzazepine derivative produced as novel crystalline substance
IN Kitahara, Shinichi; Yamaguchi, Toshihiro
PA Meiji Seika Kaisha, Ltd., Japan
SO PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004113343	A1	20041229	WO 2004-JP8729	20040621

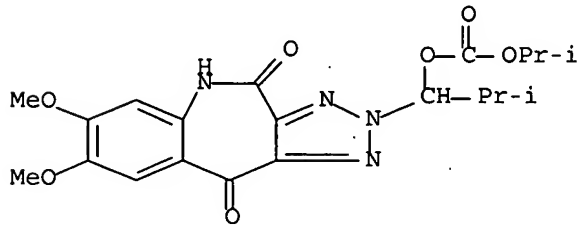
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI JP 2003-175347 A 20030619

AB Crystalline 2-(1-isopropoxycarbonyloxy-2-methylpropyl)-7,8-dimethoxy-4(5-H),10- dioxo-2H-1,2,3-triazolo[4,5-c][1]benzazepine (I) (X ray crystallog. data given) is claimed. The crystals of I of this invention have high solubility and bioavailability. Crystallization of I from DMF and water gave β type crystals of I. I is an antiallergic agent.

IT **222633-22-9**
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tricyclic triazolobenzazepine derivative produced as novel crystalline substance)

RN 222633-22-9 CAPLUS
CN Carbonic acid, 1-(5,10-dihydro-7,8-dimethoxy-4,10-dioxo-1,2,3-triazolo[4,5-c][1]benzazepin-2(4H)-yl)-2-methylpropyl 1-methylethyl ester (9CI) (CA INDEX NAME)



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

App'n

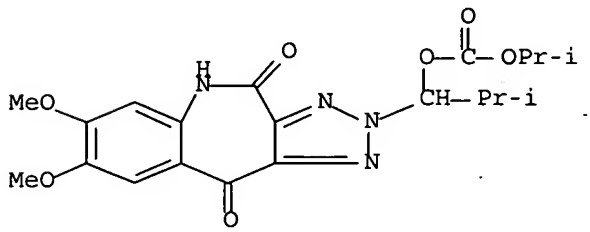
L5 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:532667 CAPLUS Full-text
DN 139:90493
TI Amorphous substance of tricyclic triazolobenzazepine derivative
IN Ishikura, Toyoaki; Ishizawa, Takayuki; Suemune, Kenji; Ishiwata, Mayumi; Udagawa, Chikako
PA Meiji Seika Kaisha, Ltd., Japan
SO PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003055886	A1	20030710	WO 2002-JP13558	20021225
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2471651	AA	20030710	CA 2002-2471651	20021225
	EP 1466914	A1	20041013	EP 2002-790871	20021225
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	US 2005130955	A1	20050616	US 2003-500071	20021225
PRAI	JP 2001-393016	A	20011226		
	WO 2002-JP13558	W	20021225		

AB Disclosed are amorphous 2-(1-isopropoxycarbonyloxy-2-methylpropyl)-7,8-dimethoxy-4(5H),10-dioxo-2H-1,2,3-triazolo[4,5-c][1]benzazepine (I), which is improved in absorbability and solubility; and a medicinal composition containing the compound Also provided are processes for producing amorphous compound I and for producing a medicinal composition containing the compound An amorphous compound I was dissolved in methylene chloride, and mixed with Me cellulose (Metolose SM15) and methanol. The mixture was then spray dried to obtain an amorphous powder of the present invention.

IT **222633-22-9**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(amorphous substance of tricyclic triazolobenzazepine derivative having improved absorbability and solubility)

RN 222633-22-9 CAPLUS
CN Carbonic acid, 1-(5,10-dihydro-7,8-dimethoxy-4,10-dioxo-1,2,3-triazolo[4,5-c][1]benzazepin-2(4H)-yl)-2-methylpropyl 1-methylethyl ester (9CI) (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/500,157
allowed
crystalline form

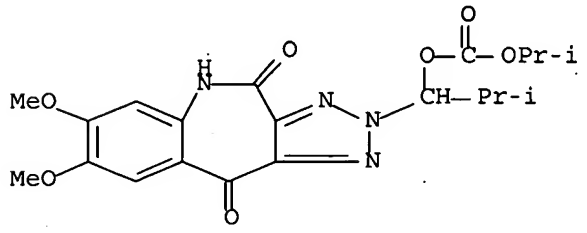
L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:532666 CAPLUS Full-text
DN 139:95490
TI Crystalline tricyclic triazolobenzazepine derivative
IN Kitahara, Shin-Ichi; Furukawa, Hanae; Yamaguchi, Toshihiro; Miyamoto, Sachiko; Okada, Yumiko
PA Meiji Seika Kaisha, Ltd., Japan
SO PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003055885	A1	20030710	WO 2002-JP13557	20021225
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1469000	A1	20041020	EP 2002-790870	20021225
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	US 2005020579	A1	20050127	US 2004-500157	20040625
PRAI	JP 2001-393016	A	20011226		
	WO 2002-JP13557	W	20021225		

AB Crystalline 2-(1-isopropoxycarbonyloxy-2-methylpropyl)-7,8-dimethoxy-4(5-H),10- dioxo-2H-1,2,3-triazolo[4,5-c][1]benzazepine (I) (X ray crystallog. data given) is claimed. I is an antiallergic agent.

IT **222633-22-9P**
RL: PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(crystalline tricyclic triazolobenzazepine derivative as antiallergic agent)

RN 222633-22-9 CAPLUS
CN Carbonic acid, 1-(5,10-dihydro-7,8-dimethoxy-4,10-dioxo-1,2,3-triazolo[4,5-c][1]benzazepin-2(4H)-yl)-2-methylpropyl 1-methylethyl ester (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:233920 CAPLUS Full-text
DN 130:282073
TI Preparation of tricyclic triazolobenzazepine derivatives as prodrugs for antiallergic agents
IN Ohtsuka, Yasuo; Nishizuka, Toshio; Shiokawa, Sohjiro; Tsutsumi, Seiji; Kawaguchi, Mami; Kitagawa, Hideo; Takata, Hiromi; Shishikura, Takashi; Ishikura, Toyoaki; Fushihara, Kenichi; Okada, Yumiko; Miyamoto, Sachiko; Shiobara, Maki
PA Meiji Seika Kaisha, Ltd., Japan
SO PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9916770	A1	19990408	WO 1998-JP4363	19980929
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	CA 2305307	AA	19990408	CA 1998-2305307	19980929
	CA 2305307	C	20041130		
	AU 9891869	A1	19990423	AU 1998-91869	19980929
	AU 744636	B2	20020228		
	EP 1026167	A1	20000809	EP 1998-944289	19980929
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	JP 3188482	B2	20010716	JP 1999-519969	19980929
	TW 510902	B	20021121	TW 1998-87116198	19980929
	RU 2198885	C2	20030220	RU 2000-111517	19980929
	AT 233764	E	20030315	AT 1998-944289	19980929
	PT 1026167	T	20030731	PT 1998-944289	19980929
	ES 2191963	T3	20030916	ES 1998-944289	19980929
	SK 283869	B6	20040302	SK 2000-425	19980929
	NO 2000001500	A	20000518	NO 2000-1500	20000323
	MX 200003047	A	20001110	MX 2000-3047	20000328
	US 6372735	B1	20020416	US 2000-509494	20000329
	HK 1032782	A1	20041119	HK 2001-103502	20010522
	US 2002137739	A1	20020926	US 2002-73326	20020213
PRAI	JP 1997-264611	A	19970929		
	JP 1998-52063	A	19980304		
	WO 1998-JP4363	W	19980929		
	US 2000-509494	A3	20000329		

OS MARPAT 130:282073
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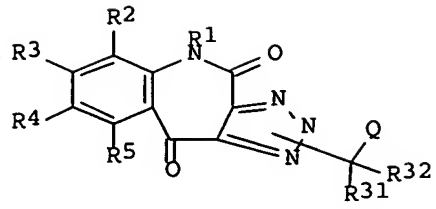
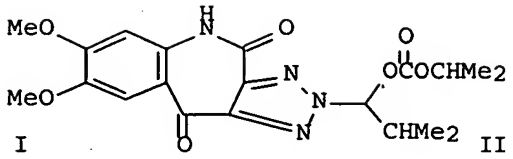




I II

AB Tricyclic triazolobenzazepine derivs. represented by general formula [I; R1 represents hydrogen, OH, alkyl, or phenylalkyl; R2, R3, R4, and R5 each represents hydrogen, halogeno, optionally protected hydroxyl, formyl, optionally substituted alkyl, alkenyl, alkoxy, etc.; Q represents a group selected among groups of OCO2R33, O2CR34, O2CNR35R36, OP(:O)(OR37)OR38, halogeno, or alkoxy; R33 and R34 each represent (un)substituted alkyl, Ph, or (un)saturated 5- to 7-membered ring heterocyclyl, etc.; and R35 and R36 each represent hydrogen or (un)substituted alkyl or NR35R36 forms a (un)saturated 5- to 7-membered ring heterocyclyl] in the form of a prodrug. and pharmacol. acceptable salts and solvates thereof are prepared These compds. have excellent bioavailability. Thus, 1.07 g Et 5-(4,5-dimethoxy-2-nitrobenzoyl)-1H-1,2,3-triazole-4-carboxylate (preparation given) and 53 mg p-MeC6H4SO3H.H2O were suspended in CH2Cl2 and stirred with 330 mg isobutyraldehyde at room temperature for 25 min, followed by adding 744 mg 1,1'-carbonyldiimidazole in 5.0 mL CH2Cl2, and the resulting mixture was stirred at room temperature for 3 h and then refluxed with 920 mg iso-Pr alc. to give 34% Et 2-(1-isopropoxycarbonyloxy-2-methylpropyl)-5-(4,5- dimethoxy-2-nitrobenzoyl)-1H-1,2,3-triazole-4-carboxylate. The latter compound was hydrogenated over Pd(OH)2 in EtOAc at room temperature for 15 h to give 99% Et 5-(2-amino-4,5-dimethoxybenzoyl)-2-(1-isopropoxycarbonyloxy-2- methylpropyl)-1H-1,2,3-triazole-4-carboxylate which was heated in AcOH at 100° for 2 h with stirring to give the title compound (II) in 62% yield. When II in 0.5% aqueous methylcellulose was administered p.o. to dogs or rats, the area under the concentration time curve (AUC) value was 1.2±0.3 μmol. h/L for dogs and 1.4±0.1 μmol. h/L for rats, which was 4-times higher in dog and 7-times higher in rats compared to that of its active form. A tablet and a fine powder formulation containing II were described.

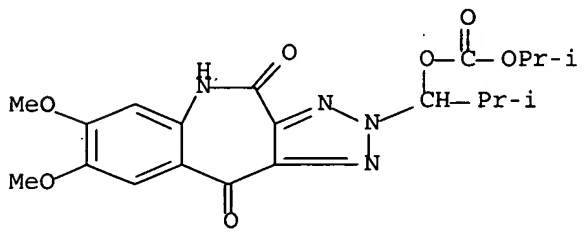
IT **222633-22-9P 222633-24-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic triazolobenzazepine derivs. as prodrugs for antiallergic agents)

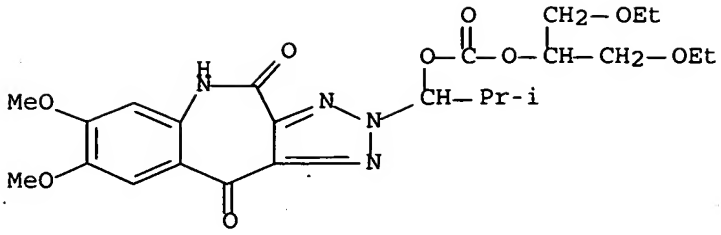
RN 222633-22-9 CAPLUS

CN Carbonic acid, 1-(5,10-dihydro-7,8-dimethoxy-4,10-dioxo-1,2,3-triazolo[4,5-c][1]benzazepin-2(4H)-yl)-2-methylpropyl 1-methylethyl ester (9CI) (CA INDEX NAME)



RN 222633-24-1 CAPLUS

CN Carbonic acid, 1-(5,10-dihydro-7,8-dimethoxy-4,10-dioxo-1,2,3-triazolo[4,5-c][1]benzazepin-2(4H)-yl)-2-methylpropyl 2-ethoxy-1-(ethoxymethyl)ethyl ester (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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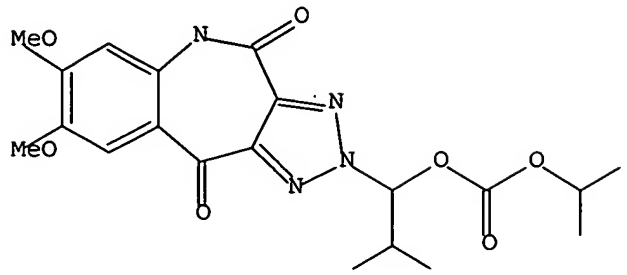

Structure attributes must be viewed using STN Express query preparation.
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L3 0 S L2
L4 2 S L2 FUL

FILE 'CAPLUS' ENTERED AT 16:02:27 ON 03 AUG 2005
L5 5 S L4

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	25.15	186.69
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.65	-3.65

STN INTERNATIONAL LOGOFF AT 16:02:59 ON 03 AUG 2005